

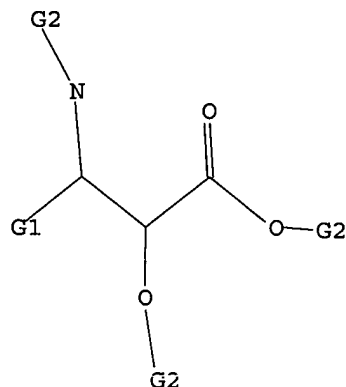
Uploading isoserine.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Ph,Cb,Cy

G2 H, COOH, C(O)CH3, Cb, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:07:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 85866 TO ITERATE

100.0% PROCESSED 85866 ITERATIONS

4055 ANSWERS

SEARCH TIME: 00.00.03

L2 4055 SEA SSS FUL L1

=> s l2 and menthyl

776 MENTHYL

L3 0 L2 AND MENTHYL

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

153.17

153.38

FILE 'CAPLUS' ENTERED AT 14:08:03 ON 13 JUL 2003

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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s 12

L4 8376 L2

=> s 14 and menthyl

3986 MENTHYL

L5 4 L4 AND MENTHYL

=> d 15 1-4 ibib abs hitstr

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:504281 CAPLUS

DOCUMENT NUMBER: 135:66206

TITLE: Anticancer compositions containing succinic  
dehydrogenase inhibitors and chemotherapeutic agents

INVENTOR(S): Kong, Qingzhong

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

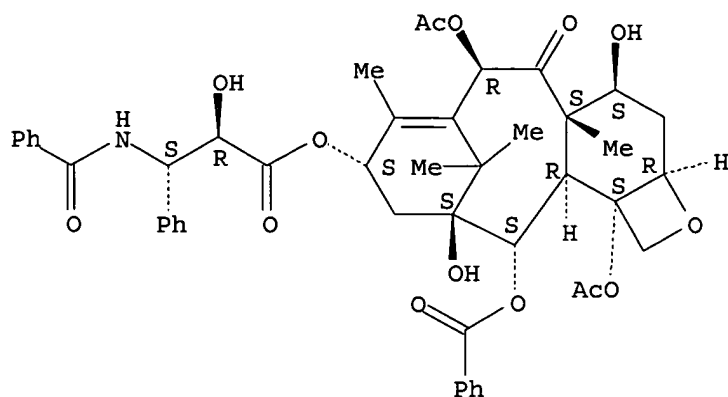
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

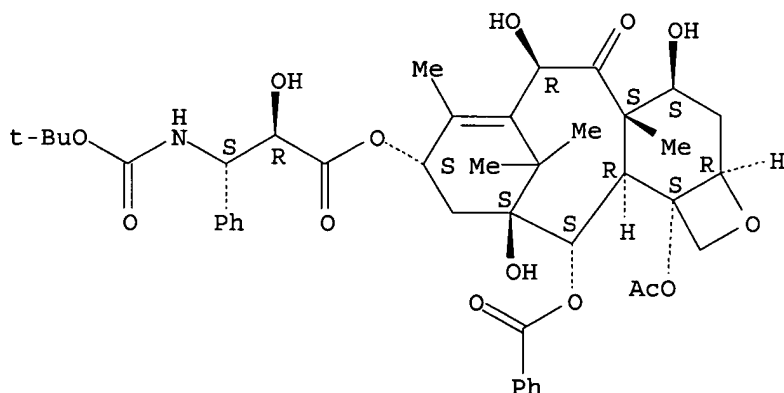
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
CN 1275377	A	20001206	CN 2000-111093	20000429
PRIORITY APPLN. INFO.:			CN 2000-111093	20000429
AB	The anticancer compn. is composed of succinic dehydrogenase inhibitor, chemotherapeutic medicine, medicinal carrier, and/or excipient. The anticancer compn. may contain antibiotics, analgesics, anticoagulants or hemostatics, anti-inflammatory drugs, hormones, and/or traditional Chinese medicine exts. The succinic dehydrogenase inhibitor is succinic acid analogs (such as <b>menthyl</b> succinate) or derivs., succinic acid isomers, tetrazoles, 3-nitropropanoic acid, N-lauroylsarcosine, and/or succinic dehydrogenase antibody or other antagonists. The chemotherapeutic medicine is antimitotic drug such as catharanthine (vinblastine, leurocristine, or colchicine), arsenic white type drug, taxol (taxotere, paclitaxel, docetaxel), cytochalasin, macrolides antibiotics (adriamycin), carbaryl or its metabolites (naphthol, 1-naphthyl phosphate or its salt), methyl-[5-(2-thienylcarbonyl)-1H-benzimidazol-2-yl]-carbamate, pyridine type drug (monocrotaline), propionamide compds., etc. The dosage form is in the forms of injections, suspensions, ointments, or capsules, etc.			
IT	33069-62-4, Paclitaxel 114977-28-5, Taxotere			
RL:	THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anticancer compns. contg. succinic dehydrogenase inhibitors and chemotherapeutic agents)			
RN	33069-62-4 CAPLUS			
CN	Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)- 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13- tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry. Rotation (-).



RN 114977-28-5 CAPLUS  
 CN Benzenepropanoic acid, .beta.-[[[(1,1-dimethylethoxy)carbonyl]amino]-  
 .alpha.-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-  
 (benzyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-  
 trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-  
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (.alpha.R,.beta.S)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:626196 CAPLUS  
 DOCUMENT NUMBER: 131:257742  
 TITLE: asymmetric hemisynthesis of harringtonines via direct  
 esterification of a natural cephalotaxine  
 INVENTOR(S): Robin, Jean-Pierre; Robin, Julie; Cavoleau, Sylvie;  
 Chauviat, Ludovic; Charbonnel, Sandra; Dhal, Robert;  
 Dujardin, Gilles; Fournier, Florence; Gilet,  
 Chrystelle; Girodier, Laurent; Mevelec, Laurence;  
 Poutot, Sandrine; Rouaud, Sylvie  
 PATENT ASSIGNEE(S): Oncopharm Corporation, USA  
 SOURCE: PCT Int. Appl., 178 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948894	A1	19990930	WO 1999-IB491	19990317

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

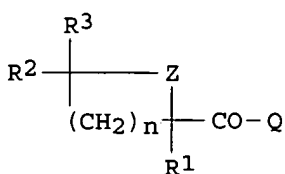
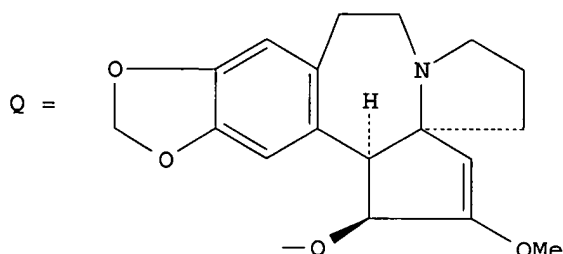
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

FR 2776292	A1	19990924	FR 1998-3492	19980320
CA 2324895	AA	19990930	CA 1999-2324895	19990317
AU 9932706	A1	19991018	AU 1999-32706	19990317
EP 1064285	A1	20010103	EP 1999-942587	19990317

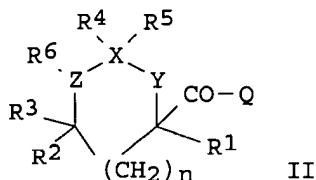
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2002507615	T2	20020312	JP 2000-537877	19990317
PRIORITY APPLN. INFO.:			FR 1998-3492	A 19980320
			WO 1999-IB491	W 19990317

OTHER SOURCE(S): CASREACT 131:257742; MARPAT 131:257742  
GI



I



II

AB A new general process for asym. hemisynthesis of harringtonines (I) (Z = O, N, S; R1,R2,R3 independently = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl), R2R3C=CH(CH2)nCR1ZHCOQ (II) and (III) (Y = O, N, S; X = C, Si, P; R4,R5 independently = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl or 0 or together make a heteroatom and/or make a double bond with X; R6 = H, arylcarbonyl, alkoxy carbonyl, aryloxy carbonyl, alkylcarbonyl), that are alkaloids used in chemotherapy, is presented. This process comprises direct esterification of a natural cephalotaxine with an acylating compd. constituted of a side chain precursor in which backbone and functionalization are entirely preformed.

IT 244761-31-7P 244761-33-9P

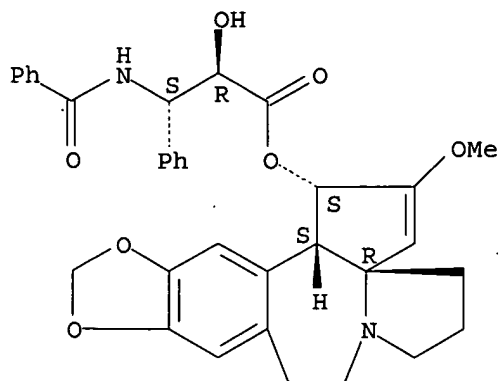
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(asym. hemisynthesis of harringtonines via direct esterification of a natural cephalotaxine)

RN 244761-31-7 CAPLUS

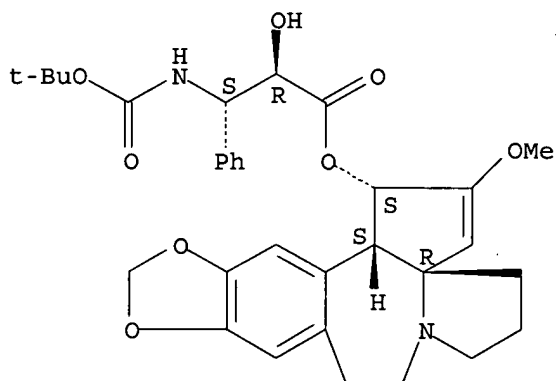
CN Cephalotaxine, (.alpha.R,.beta.S)-.beta.- (benzoylamino)-.alpha.-hydroxybenzenepropanoate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



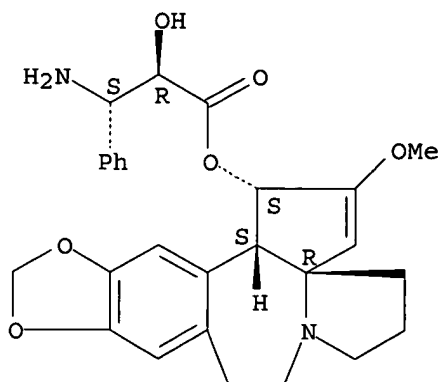
RN 244761-33-9 CAPLUS  
 CN Cephalotaxine, (.alpha.R,.beta.S)-.beta.-[[[(1,1-dimethylethoxy)carbonyl]amino]-.alpha.-hydroxybenzenepropanoate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 244761-12-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (asym. hemisynthesis of harringtonines via direct esterification of a natural cephalotaxine)  
 RN 244761-12-4 CAPLUS  
 CN Cephalotaxine, (.alpha.R,.beta.S)-.beta.-amino-.alpha.-hydroxybenzenepropanoate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:389208 CAPLUS

DOCUMENT NUMBER: 127:5213

TITLE: Intermediary compounds for the hemisynthesis of taxanes and preparation processes therefor

INVENTOR(S): Chanteloup, Luc; Chauveau, Bruno; Corbin, Christine; Dhal, Robert; Le Guen, Sonia; Lamy, Arnaud; Leze, Antoine; Robin, Jean-Pierre

PATENT ASSIGNEE(S): Societe d'Etude et de Recherche en Ingenierie Pharmaceutique Seripharm, Fr.; Chanteloup, Luc; Chauveau, Bruno; Corbin, Christine; Dhal, Robert; Le Guen, Sonia; Lamy, Arnaud; Leze, Antoine; Robin, Jean-Pierre

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715562	A1	19970501	WO 1996-FR1676	19961025
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM			
FR 2740451	A1	19970430	FR 1995-12739	19951027
FR 2740451	B1	19980116		
AU 9673086	A1	19970515	AU 1996-73086	19961025
AU 710314	B2	19990916		
EP 863887	A1	19980916	EP 1996-934977	19961025
EP 863887	B1	20020123		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
CN 1200731	A	19981202	CN 1996-197853	19961025
BR 9610908	A	19990713	BR 1996-10908	19961025
JP 2000500437	T2	20000118	JP 1997-516372	19961025
NZ 320356	A	20000128	NZ 1996-320356	19961025
RU 2159237	C2	20001120	RU 1998-110071	19961025
AT 212342	E	20020215	AT 1996-934977	19961025
EE 3610	B1	20020215	EE 1998-149	19961025
ES 2170876	T3	20020816	ES 1996-934977	19961025

NO 9801823	A	19980423	NO 1998-1823	19980423
US 6265587	B1	20010724	US 1998-65041	19980427
US 2002068833	A1	20020606	US 2001-836326	20010418

PRIORITY APPLN. INFO.: FR 1995-12739 A 19951027  
WO 1996-FR1676 W 19961025  
US 1998-65041 A3 19980427

OTHER SOURCE(S): CASREACT 127:5213; MARPAT 127:5213  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to new intermediates, I-IV (R = alkyl, cycloalkyl, R1, R2 = aryl) for the hemisynthesis of taxanes and their prepn. processes. It relates particularly to derivs. of oxazolidinones or oxazolidinones, as well as to new derivs. of baccatin III. The general process for the synthesis of taxanes according to the invention enables to obtain a product such as Paclitaxel in only five steps from products available in the market, compared to nine steps in general, for processes of the prior art. Thus, (1S,2R,5S)-(+)-**menthyl** (2R,3R)-3-phenylglycidate, prepd. from (1S,2R,5S)-(+)-**menthyl** chloroacetate and PhCHO, was cyclized with PhCN followed by sapon. with K2CO3 to give (4S,5R)-2,4-diphenyl-4,5-dihydrooxazole-5-carboxylic acid. 7-O-(triethylsilyl)-10 deacetyl baccatin III was treated with (4S,5R)-2,4-diphenyl-4,5-dihydrooxazole-5-carboxylic acid to give the deriv. V, which was hydrolyzed to give Paclitaxel.

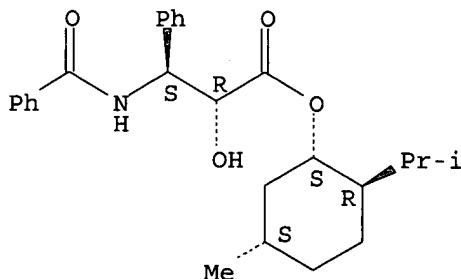
IT 190250-09-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of intermediates synthesis of taxanes)

RN 190250-09-0 CAPLUS

CN Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-, 5-methyl-2-(1-methylethyl)cyclohexyl ester, [1S-[1.alpha.(.alpha.S\*,.beta.R\*),2.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



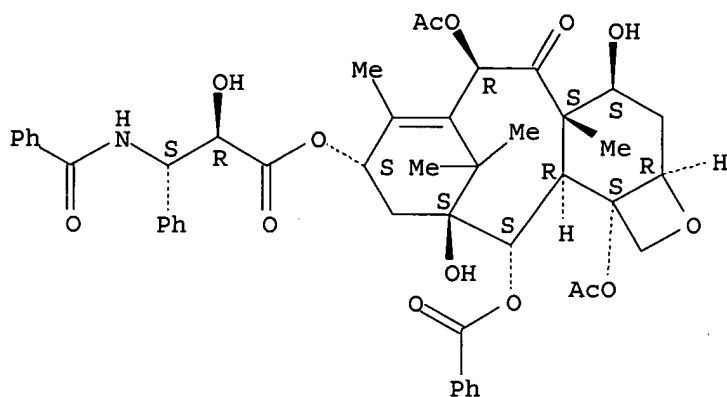
IT 33069-62-4P, Paclitaxel

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of intermediates synthesis of taxanes)

RN 33069-62-4 CAPLUS

CN Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:681221 CAPLUS

DOCUMENT NUMBER: 121:281221

TITLE: Process for the production of chiral hydroxy-(beta)-lactams and hydroxyamino acids derived therefrom

INVENTOR(S): Ojima, Iwao

PATENT ASSIGNEE(S): Research Foundation State University of New York, USA

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

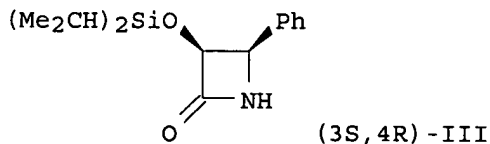
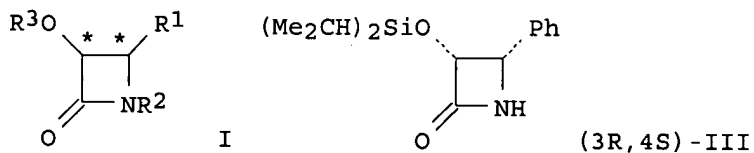
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5294737	A	19940315	US 1992-842444	19920227
PRIORITY APPLN. INFO.:			US 1992-842444	19920227
OTHER SOURCE(S):		CASREACT 121:281221; MARPAT 121:281221		

GI



AB Chiral 3-hydroxy-.beta.-lactams [I; R1 = C1-20 linear or branched alkyl, C3-10 cycloalkyl, C2-20 alkenyl or alkynyl, C6-20 substituted aryl, (un)substituted C3-20 heteroatom. group; R2 = H, C1-20 linear or branched alkyl, C3-20 cycloalkyl, C2-20 alkenyl or alkynyl, C6-20 (un)substituted aryl, (un)substituted C3-20 heteroatom. group, C3-20 trisubstituted silyl; R3 = H, protective group] are prepd. by treating an O-protected hydroxyacetic acid deriv. bearing a chiral auxiliary group R4OCH2C(O)Xc (R4 = protective group; Xc = a chiral auxiliary) with a base MNRSR6 (M =



alkali metal; R5, R6 = C1-10 linear or branched alkyl, C3-10 cycloalkyl, C3-18 trialkylsilyl) and cyclocondensation of the generated chiral ester enol with an imine R1CH:NR7 (R1, R7 = same as above). Hydrolysis of the chiral .beta.-lactams produces chiral amino acid analogs, such as norstatine, (2R,3S)-3-amino-4-cyclohexyl-2-hydroxybutanoic acid (ACHBA), and (2R,3S)-3-phenylisoserine, which are used as intermediates for peptide-based inhibitors of such enzymes as renin and HIV protease and the antitumor agent taxol. This asym. synthesis of I through chiral enolate-imine cyclocondensation proceeds in high yield with high enantioselectivity and I are obtained with a min. of synthesis steps. Thus, a soln. of (-)-(1R,2S)-2-phenyl-1-cyclohexyl (triisopropylsilyloxy)acetate in THF was added to a soln. of (Me2CH)2NLi (prepd. in situ) in THF at -78.degree.; after stirring for 2 h a soln. of N-trimethylsilylbenzaldimine (II) in THF was added and the mixt. was stirred at -78.degree. for 4 h to give 85% azetidinone deriv. [(3R,4S)-III] of 96% e.e. In the same manner, (+)-(1S,2R)-2-phenyl-1-cyclohexyl (triisopropylsilyloxy)acetate was reacted with II to give 80% (3S,4R)-III of 97% e.e. (3R,4S)-III was converted into (2R,3S)-3-phenylisoserine hydrochloride by desilylation with Bu4NF in THF followed by hydrolysis with 6 N HCl at 60.degree..

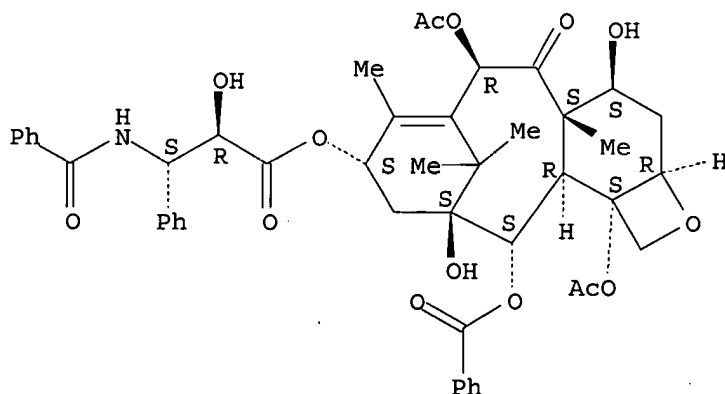
IT 33069-62-4, Taxol

RL: RCT (Reactant); RACT (Reactant or reagent)  
(intermediate for, phenylhydroxy-.beta.-lactam deriv. and  
N-benzoylphenylisoserine as)

RN 33069-62-4 CAPLUS

CN Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-,  
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-  
2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-  
tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl  
ester, (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



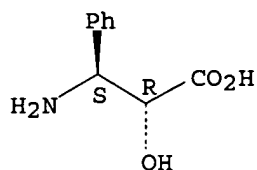
IT 132201-32-2P, (2R,3S)-3-Phenylisoserine hydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and esterification of, with benzoyl chloride)

RN 132201-32-2 CAPLUS

CN Benzenepropanoic acid, .beta.-amino-.alpha.-hydroxy-, hydrochloride,  
(.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

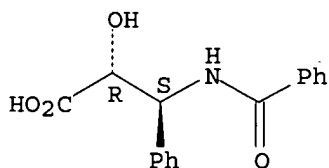
Absolute stereochemistry. Rotation (-).



● HCl

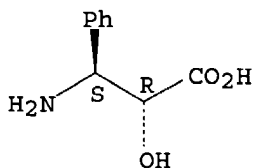
IT 132201-33-3P, N-Benzoyl-(2R,3S)-3-phenylisoserine  
 136561-53-0P, (2R,3S)-3-Phenylisoserine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 132201-33-3 CAPLUS  
 CN Benzenepropanoic acid, .beta.-(benzoylamino)-.alpha.-hydroxy-,  
 (.alpha.R,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 136561-53-0 CAPLUS  
 CN Benzenepropanoic acid, .beta.-amino-.alpha.-hydroxy-, (.alpha.R,.beta.S)-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



=>